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=> d his

     (FILE 'USPAT' ENTERED AT 15:18:01 ON 19 MAR 1998)

     FILE 'USPAT, USOCR, EPO, JPO' ENTERED AT 15:18:27 ON 19 MAR 1998
     FILE 'USPAT'
L1             0 S PRE-FORMED DRY INCLUSION COMPLEX?
     FILE 'USOCR'
L2             0 S PRE-FORMED DRY INCLUSION COMPLEX?
     FILE 'EPO'
L3             0 S PRE-FORMED DRY INCLUSION COMPLEX?
     FILE 'JPO'
L4             0 S PRE-FORMED DRY INCLUSION COMPLEX?
     TOTAL FOR ALL FILES
L5             0 S PRE-FORMED DRY INCLUSION COMPLEX?
     FILE 'USPAT'
L6             0 S PREFORMED DRY INCLUSION COMPLEX?
     FILE 'USOCR'
L7             0 S PREFORMED DRY INCLUSION COMPLEX?
     FILE 'EPO'
L8             0 S PREFORMED DRY INCLUSION COMPLEX?
     FILE 'JPO'
L9             0 S PREFORMED DRY INCLUSION COMPLEX?
     TOTAL FOR ALL FILES
L10            0 S PREFORMED DRY INCLUSION COMPLEX?
     FILE 'USPAT'
L11            0 S PREFORMED(P)(INCLUSION COMPLEX?)
     FILE 'USOCR'
L12            0 S PREFORMED(P)(INCLUSION COMPLEX?)
     FILE 'EPO'
L13            0 S PREFORMED(P)(INCLUSION COMPLEX?)
     FILE 'JPO'
L14            0 S PREFORMED(P)(INCLUSION COMPLEX?)
     TOTAL FOR ALL FILES
L15            0 S PREFORMED(P)(INCLUSION COMPLEX?)
     FILE 'USPAT'
L16            6 S SALT#(P)CYCLODEXTRIN(P)(PHYSICAL MIXTURE#)
     FILE 'USOCR'
L17            0 S SALT#(P)CYCLODEXTRIN(P)(PHYSICAL MIXTURE#)
     FILE 'EPO'
L18            0 S SALT#(P)CYCLODEXTRIN(P)(PHYSICAL MIXTURE#)
     FILE 'JPO'
L19            0 S SALT#(P)CYCLODEXTRIN(P)(PHYSICAL MIXTURE#)
     TOTAL FOR ALL FILES
L20            6 S SALT#(P)CYCLODEXTRIN(P)(PHYSICAL MIXTURE#)

=> d 120 1-6 cit kwic


1.  5,024,998, Jun. 18, 1991, Pharmaceutical formulations for parenteral
use; Nicholas S. Bodor, 424/1.85, 94.1; 514/58, 777, 937; 536/103 [IMAGE
AVAILABLE]

US PAT NO:      5,024,998 [IMAGE AVAILABLE]                L20: 1 of 6

SUMMARY:

BSUM(7)
```

	progest[redacted]ns	
Nicolau 4,407,795		
	p-hexadecyl-	antiathero- enhanced
	aminobenzoic	sclerotic bioavailability
	acid sodium	
	salt	
Tuttle.sup.1		
4,424,209		
	3,4-diisobutyr-	cardiac
	yloxy-N-[3-(4-	contractility
	isobutyryloxy-	agent
	phenyl)-1-	
	methyl-n-	
. . .		
	anti-	reduced eye
	biphenylyl)pro-	inflammatory
		irritation,
	pionic acid	ophthalmic
		higher concen-
	or **salt**	trations, no side effects, highly soluble, long stability, excellent pharmacological effects
Shinoda et al		
4,478,995		
	acid addition	anti-ulcer
		excellent water
	salt of (2'-	solubility, good
	benzyloxycar-	absorption in diges-
	bonyl)phenyl	tive tract, good
	trans-4-guani-	anti-ulcer activity
	dinomethylcyclo-. . .	
4,751,095		
	aspartame	dipeptide stabilization from
		sweetener hydrolysis

.sup.1 Tuttle also describes use of 2,6di-0-methyl-.beta.-**cyclodextrin** an 2,3,6tri-0-methyl-.beta.-**cyclodextrin** to form the inclusion complex.

.sup.2 This may not be an inclusion complex, but simply a **physical mixture**. Indeed, it appears from the claims that an inclusion product is not formed and that what the invention provides is a pharmaceutical composition consisting of a **physical mixture** of a hydrophilic, physiologically active polypeptide and **cyclodextrin**, said composition being a uniform mixture in dosage form. The **cyclodextrin** may be .alpha.-,

.beta.- or .gamma.-**cyclodextrin**, or a **cyclodextrin** derivative, exemplifie
by tri 0methylcyclodextrin and triaminocyclodextrin, .alpha.-**cyclodextrin**
being particularly preferred. The composition is designed for nasal, vaginal or rectal administration, i.e. a nonoral or noninjectable route.
. . This is a mixture and/or an inclusion compound.
.sup.4 The inventors also mention prior known solubility improvements of **cyclodextrin** inclusions of barbituric acid derivatives, mefenamic acid,
indomethacin and chloramphenicol.
.sup.5 The inventors refer to this as an "occlusion" compound.
.sup.6 The inventors also mention a derivative of **cyclodextrin** and a cyclodextrincontaining starch decomposition product for use in forming th
clathrate.

2. 5,017,566, May 21, 1991, Redox systems for brain-targeted drug delivery; Nicholas S. Bodor, 514/58, 964, 965; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,017,566 [IMAGE AVAILABLE] L20: 2 of 6

SUMMARY:

BSUM(7)
. . .

	progestagens			
Nicolau 4,407,795				
	p-hexadecyl-			
		antiathero-		
			enhanced	
	aminobenzoic			
		sclerotic		
			bioavailability	
	acid sodium			
	salt			
Tuttle.sup.1				
4,424,209				
	3,4-diisobutyr-			
		cardiac		
	yioxy-N-[3-(4-			
		contractility		
	isobutyryloxy-			
		agent		
	phenyl)-1-			
	methyl-n-			
. . .				
		anti-	reduced eye	
	biphenylyl)pro-			
		inflammatory		
			irritation,	
	pionic acid			
		ophthalmic		
			higher concen-	
	or **salt**		trations, no side	
			effects, highly	
			soluble, long	
			stability, excellent	
			pharmacological	
			effects	
Shinoda et al				
4,478,995				
	acid addition			
		anti-ulcer		
			excellent water	

salt of (2'-benzyl[redacted]carbonyl)phenyl trans-4-guanidinomethylcyclo-. . .

solubility, good absorption in digestive tract, good anti-ulcer activity

4,751,095

aspartame dipeptide sweetener

stabilization from hydrolysis

.sup.1 Tuttle also describes use of 2,6di-O-methyl-**cyclodextrin** and 2,3,6tri-O-methyl **cyclodextrin** to form the inclusion complex.

.sup.2 This may not be an inclusion complex, but simply a **physical mixture**.

.sup.3 This is a mixture and/or an inclusion compound.

.sup.4 The inventors also mention prior known solubility improvements of **cyclodextrin** inclusions of barbituric acid derivatives, mefenamic acid, indomethacin and chloramphenicol.

.sup.5 The inventors refer to this as an "occlusion" compound.

.sup.6 The inventors also mention a derivative of **cyclodextrin** and a cyclodextrincontaining starch decomposition product for use in forming th clathrate.

3. 5,002,935, Mar. 26, 1991, Improvements in redox systems for brain-targeted drug delivery; Nicholas S. Bodor, 514/58; 424/488; 514/778, 965; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,002,935 [IMAGE AVAILABLE] L20: 3 of 6

SUMMARY:

BSUM(7)

. . .

progestagens

Nicolau 4,407,795

p-hexadecyl-aminobenzoic acid sodium **salt**

antiathero-sclerotic

enhanced bioavailability

Tuttle.sup.1

4,424,209

3,4-diisobutyryloxy-N-[3-(4-isobutyryloxyphenyl)-1-methyl-n-

cardiac contractility agent

. . .

biphenylyl)propionic acid

anti-inflammatory ophthalmic

reduced eye irritation,

			higher concen-
	or **salt**		trations, no side
			effects, highly
			soluble, long
			stability, excellent
			pharmacological
			effects
Shinoda et al			
	4,478,995		
		acid addition	
			anti-ulcer
			excellent water
		salt of (2'-	solubility, good
		benzyloxycar-	absorption in diges-
		bonyl)phenyl	tive tract, good
		trans-4-guani-	anti-ulcer activity
		dinomethylcyclo-. . .	hinokitiol
			bactericidal,
			improved water
			bacteriostatic
			solubility, less
			odor

.sup.1 Tuttle also describes use of 2,6di-O-methyl-.beta.-**cyclodextrin** an 2,3,6tri-O-methyl-.beta.-**cyclodextrin** to form the inclusion complex.

.sup.2 This may not be an inclusion complex, but simply a **physical mixture**.

.sup.3 This is a mixture and/or an inclusion compound.

.sup.4 The inventors also mention prior known solubility improvements of **cyclodextrin** inclusions of barbituric acid derivatives, mefenamic acid, indomethacin and chloramphenicol.

.sup.5 The inventors refer to this as an. . .

4. 4,983,586, Jan. 8, 1991, Pharmaceutical formulations for parenteral use; Nicholas S. Bodor, 514/58, 777; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,983,586 [IMAGE AVAILABLE] L20: 4 of 6

SUMMARY:

BSUM(7)

. . .

		proestagens	
Nicolau	4,407,795		
		p-hexadecyl-	
			antiathero-
			enhanced
		aminobenzoic	
			sclerotic
			bioavailability
		acid sodium	
		salt	
Tuttle.sup.1			
	4,424,209		
		3,4-diisobutyr-	
			cardiac
		yloxy-N-[3-(4-	
			contractility
		isobutyryloxy-	
			agent
		phenyl)-1-	
		methyl-n-	

		anti-	reduced eye
	biphenylyl)pro-		
		inflammatory	
			irritation,
	pionic acid		
		ophthalmic	
			higher concen-
	or **salt**		trations, no side
			effects, highly,
			soluble, long
			stability, excellent
			pharmacological
			effects
Shinoda et al			
4,478,995			
	acid addition		
		anti-ulcer	
			excellent water
	salt of (2'-		solubility, good
	benzoyloxycar-		absorption in diges-
	bonyl)phenyl		tive, tract, good
	trans-4-guani-		anti-ulcer activity
	dinomethylcyclo-. . .		hinokitiol
		bactericidal,	
			improved water
		bacteriostatic	
			solubility, less
			odor

.sup.1 Tuttle also describes use of 2,6di-O-methyl-.beta.-**cyclodextrin** an 2,3,6tri-O-methyl-.beta.-**cyclodextrin** to form the inclusion complex.

.sup.2 This may not be an inclusion complex, but simply a **physical mixture**.

.sup.3 This is a mixture and/or an inclusion compound.

.sup.4 The inventors also mention prior known solubility improvements of **cyclodextrin** inclusions of barbituric acid derivatives, mefenamic acid, indomethacin and chloramphenicol.

.sup.5 The inventors refer to this as an. . .

5. 4,869,904, Sep. 26, 1989, Sustained release drug preparation; Kaneto Uekama, et al., 424/400, 456, 470, 489, 502; 514/58, 929; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,869,904 [IMAGE AVAILABLE] L20: 5 of 6

DETDESC:

DETD(30)

According . . . in the form of compressed tablets or granules, which comprises (i) an inclusion complex of diltiazem or its acid addition **salt**, isosorbide or its acid addition **salt** or dicardipin or its acid addition **salt** with a hydrophobic **cyclodextrin** derivative at a molar ratio of the medical compound to the **cyclodextrin** derivative of 1:1 to 1:10, plus (ii) an inclusion complex of a medical compound as specified above with a hydrophilic **cyclodextrin** or a hydrophilic **cyclodextrin** derivative at a molar ratio of 1:1 to 1:10, as the active ingredient, and which is in the form of a **physical mixture** of the inclusion complex (i) with the inclusion complex (ii) at a mixing ratio of 1:2 to 1:0.1, preferably of. . .

CLAIMS:

CLMS(2)

2. . . . in the form of compressed tablets or granules, which comprises (1) a inclusion complex of diltiazem or its acid addition **salt**, isosorbide or its acid addition **salt** or dicardipin or its acid addition **salt** with a hydrophobic alkylated **cyclodextrin** at a molar ratio of the medical compound to the **cyclodextrin** derivative of 1:1 to 1:10, plus (ii) an inclusion complex of a medical compound with a hydrophilic **cyclodextrin** or a hydrophilic **cyclodextrin** derivative, said medical compound being capable of complexing with a hydrophilic **cyclodextrin** or a hydrophilic **cyclodextrin** derivative, at a molar ratio of 1:1 to 1:10, as the active ingredient, and which is in the form of a **physical mixture** of the inclusion complex (i) with the inclusion complex (ii) at a mixing ratio of 1:2 to 1:0.1 by weight.

6. 4,228,160, Oct. 14, 1980, Inclusion complex of cyclodextrin and indomethacin and a process for the preparation thereof, method of use and pharmaceutical composition; Josef Szejtli, et al., 514/58; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,228,160 [IMAGE AVAILABLE] L20: 6 of 6

SUMMARY:

BSUM(11)

Consequently it was not the preparation of an Indomethacin-**cyclodextrin** complex that was disclosed in the above-mentioned article, but rather a **physical mixture** of the ammonium **salt** of Indomethacin and **cyclodextrin** as the product. According to our observations **salts** of Indomethacin cannot be incorporated in a **cyclodextrin** inclusion complex, the **salts** prove to be too ionic, i.e. hydrophilic.

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(FILE 'USPAT' ENTERED AT 14:42:02 ON 19 MAR 1998)
L1 19 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT

FILE 'USPAT, USOCR, JPO, EPO' ENTERED AT 14:44:35 ON 19 MAR 1998
FILE 'USPAT'
L2 19 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT
FILE 'USOCR'
L3 0 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT
FILE 'JPO'
L4 0 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT
FILE 'EPO'
L5 4 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT
TOTAL FOR ALL FILES
L6 23 S CYCLODEXTRIN(P)(INCLUSION COMPLEX)(P)SALT
FILE 'USPAT'
L7 0 S ZIPRASIDONE(P)CYCLODEXTRIN#
FILE 'USOCR'
L8 0 S ZIPRASIDONE(P)CYCLODEXTRIN#
FILE 'JPO'
L9 0 S ZIPRASIDONE(P)CYCLODEXTRIN#
FILE 'EPO'
L10 0 S ZIPRASIDONE(P)CYCLODEXTRIN#
TOTAL FOR ALL FILES
L11 0 S ZIPRASIDONE(P)CYCLODEXTRIN#
FILE 'USPAT'
L12 0 S ZIPRASIDONE AND CYCLODEXTRIN#
FILE 'USOCR'
L13 0 S ZIPRASIDONE AND CYCLODEXTRIN#
FILE 'JPO'
L14 0 S ZIPRASIDONE AND CYCLODEXTRIN#
FILE 'EPO'
L15 0 S ZIPRASIDONE AND CYCLODEXTRIN#
TOTAL FOR ALL FILES
L16 0 S ZIPRASIDONE AND CYCLODEXTRIN#

=> d 16 1-23 cit ab

1. 5,698,535, Dec. 16, 1997, SIN-1A cyclodextrin inclusion complexes; Joseph Geczy, et al., 514/58; 424/464, 499; 514/46; 536/46, 103; 548/125 [IMAGE AVAILABLE]

US PAT NO: 5,698,535 [IMAGE AVAILABLE] L6: 1 of 23

ABSTRACT:

New inclusion complexes which are stable in their so-lid state formed of SIN-1A and cyclodextrins or cyclodextrin derivatives and optionally also containing ions as catalyst or stabilizer. The complexes release nitric oxide at room temperature upon dissolving in water or aqueous systems. The ions are preferably carboxylic acid anions such as acetate, formiate, propionate, ascorbinate, tartarate and/or lactate and/or inorganic acid anions such as phosphate, phosphite, borate, carbonate, hydrocarbonate, sulfate, sulfite and/or cations such as alkali and/or ammonium. Pharmaceutical compositions as well as kits containing the complexes. The kits are to be used as NO-liberating standards to release NO in a

predictable amount and rate on dissolving in aqueous media. Processes for the preparation of the complexes by subjecting at a suitable pH SIN-1 to the catalytic action of ions to shift the equilibrium towards formation of SIN-1A in the presence of cyclodextrins or cyclodextrin derivatives capable to form inclusion complexes, whereby the SIN-1A formed is immediately complexed and stabilized and isolating in the solid state the obtained new complexes optionally containing ions. A preferred process includes reacting SIN-1 and a cyclodextrin or cyclodextrin derivative in the solid state in the presence of a salt as a catalyst by thoroughly admixing or milling the components together or by freeze drying an aqueous, oxygen-free solution containing the components, followed preferably by "second drying" in vacuo.

2. 5,679,660, Oct. 21, 1997, Pharmaceutical composition comprising diclofenac and cyclodextrin; Mark David Bodley, et al., 514/58, 658, 886 [IMAGE AVAILABLE]

US PAT NO: 5,679,660 [IMAGE AVAILABLE] L6: 2 of 23

ABSTRACT:
A method of preparing an injectable pharmaceutical or veterinary composition which comprises either diclofenac or a **salt** thereof and 2-hydroxypropyl beta-**cyclodextrin**, or an **inclusion complex** of diclofenac or a **salt** thereof and 2-hydroxypropyl beta-**cyclodextrin**, includes the step of dissolving either the diclofenac or **salt** thereof and the 2-hydroxypropyl beta-**cyclodextrin**, or the **inclusion complex**, in water to form a solution, the water having been acidified to a pH such that the pH of the solution is from 6.0 to 8.5 inclusive, in the absence of a phosphate buffer. The composition so produced has good stability on storage.

3. 5,674,854, Oct. 7, 1997, Inclusion complex of beta-cyclodextrin and diclofenac, its preparation and use; Mark David Bodley, et al., 514/58; 424/499; 514/964 [IMAGE AVAILABLE]

US PAT NO: 5,674,854 [IMAGE AVAILABLE] L6: 3 of 23

ABSTRACT:
An inclusion complex of diclofenac, preferably as diclofenac sodium, and an unsubstituted beta-cyclodextrin has the formula 1 molecule of diclofenac to 1 molecule of the unsubstituted beta-cyclodextrin and preferably from 5 to 11 water molecules. The inclusion complex may be formulated as a pharmaceutical composition.

4. 5,650,160, Jul. 22, 1997, Inclusion complexes of cyclodextrin and their use in slow release formulations for attracting insects; Basilios Mazomenos, et al., 424/405, 84, 409, 413 [IMAGE AVAILABLE]

US PAT NO: 5,650,160 [IMAGE AVAILABLE] L6: 4 of 23

ABSTRACT:

Process for attracting male olive pests, particularly male Prays oleae or Palpita unionalis, wherein an appropriate amount of the following composition is used, preferably in an amount of about 10 to about 40 mg:
an inclusion complex of a cyclodextrin and of at least one of the following compounds:
a linear chain of 10 to 20 carbon atoms, substituted or not, saturated or unsaturated, under the acetate or aldehyde or alcohol form, provided that such chain is different from ethyl dodecanoate,
and more particularly:
Z-7-tetradecenal,
E-11-hexadecenal,
E-11-hexadecenyl acetate.
The distribution of this sex pheromone confuses the male olive pests as

to the whereabouts of the females.

5. 5,624,940, Apr. 29, 1997, Aqueous solution inclusion complexes of benzothiophene compounds with water soluble cyclodextrins, and pharmaceutical formulations and methods thereof; Henry U. Bryant, et al., 514/324; 424/488; 514/443, 444, 448, 777, 950 [IMAGE AVAILABLE]

US PAT NO: 5,624,940 [IMAGE AVAILABLE] L6: 5 of 23

ABSTRACT:
The present invention provides aqueous inclusion complexes of certain known benzothiophene compounds, particularly Raloxifene, and water soluble cyclodextrins. Also provided are pharmaceutical compositions of such inclusion complexes, and methods of using these complexes for inhibiting bone loss and reducing serum cholesterol in mammals.

6. 5,519,012, May 21, 1996, Inclusion complexes of optically active 1,4-dihydropyridines with methyl-.beta.-cyclodextrin; Darja Fercej-Temeljotov, et al., 514/58, 356, 778; 536/103; 546/321 [IMAGE AVAILABLE]

US PAT NO: 5,519,012 [IMAGE AVAILABLE] L6: 6 of 23

ABSTRACT:
Novel inclusion complexes of racemic 1,4-dihydropyridines and enantiomers thereof of the formula ##STR1## wherein R represents a phenyl group, substituted with nitro, trifluoromethyl, difluoromethoxy group or with one or two halo atoms (especially chlorine),
R.sub.1 and R.sub.2, if the same, represent methyl groups and if one of them has the meaning of a 2-aminoethoxymethyl or cyano group, the other represents a methyl group,
R.sub.3 and R.sub.4, if different, stand each time for a hydrogen, linear or branched C.sub.1 -C.sub.6 -alkyl, 2-methoxyethyl, 1-(phenylmethyl)-3-piperidinylphenyl, styryl, furyl, piperidino, 4-diphenylmethyl-1-piperazinylethyl, 5-phenyl-3-pirazolyloxy, 1-phenyl-methyl-3-pyrrolidinyl group or a group of the formula ##STR2## or, if the same, stand each time C.sub.1 -C.sub.4 alkyl group, and of acid addition salts thereof with methyl-.beta.-cyclodextrin, hydroxy-ethyl-.beta.-cyclodextrin or hydroxypropyl-.beta.-cyclodextrin, with the exception of inclusion complexes of racemic dihydropyridines with HP-.beta.-CD, or, in case of amlodipine and enantiomeric nicardipine, also with .beta.-cyclodextrin, are disclosed.
Whilst inclusion complexes of racemic dihydropyridines with the cites cyclodextrins are prepared in a well-known manner disclosed in the literature, enantiomerically pure dihydropyridines and inclusion complexes thereof with cyclodextrins are prepared in a novel way by means of preparative column chromatography.
The invention also relates to a pharmaceutical formulation containing novel inclusion complexes and to the use thereof as calcium antagonists for the treatment of hypertension, angina pectoris and cerebrovascular disorders.

7. 5,498,788, Mar. 12, 1996, Inclusion complexes of clavulanic acid and of potassium salts thereof with hydrophobic .beta.-cyclodextrin derivatives a process for the preparation thereof; Janko Zmitek, et al., 540/349; 424/470; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,498,788 [IMAGE AVAILABLE] L6: 7 of 23

ABSTRACT:
Described is a new process for the preparation of alkali clavulanate from an aqueous solution of pure clavulanic acid and of pharmaceutically acceptable potassium crude clavulanic acid, which is obtained in a conventional manner after the fermentation with a clavulanic-acid-producing microorganism, extracted with an ethyl acetate solution of a

hydrophobic .beta.-CD derivative such as heptakis-(2,3,6-tri-O-acetyl)-.beta.-CD in equimolar amount or up to 10% excess with regard to clavulanic acid. The resulting novel inclusion complexes of clavulanic acid and hydrophobic .beta.-CD derivative in a molar ratio about 1:1 are isolated, purified with water and then converted with potassium 2-ethyl hexanate to the potassium clavulanate, which is isolated. Furthermore, there are described new inclusion complexes of clavulanic acid and of its pharmaceutically acceptable potassium salt both with hydrophilic .beta.-CD derivatives and with hydrophobic .beta.-CD derivatives, processes for the preparation thereof and the use thereof for the preparation of galenic forms with immediate as well as with sustained action, in combination with amoxicillin trihydrate, which are valuable medicaments in the therapy of infectious diseases.

8. 5,403,840, Apr. 4, 1995, Inclusion complexes of N-ethoxycarbonyl 1-3-morpholino-sydnonimine or salts formed with cyclodextrin-derivatives, preparation thereof and pharmaceutical compositions containing the same; Maria Vikmon, et al., 514/236.2; 424/488; 536/46; 544/138 [IMAGE AVAILABLE]

US PAT NO: 5,403,840 [IMAGE AVAILABLE] L6: 8 of 23

ABSTRACT:

The invention relates to inclusion complexes of N-ethoxycarbonyl-3-morpholino-sydnonimine or its salts formed with a cyclodextrin derivatives, preparation thereof and pharmaceutical compositions containing the same.
The **inclusion complex** of N-ethoxycarbonyl-3-morpholino-sydnonimine or its **salt** formed with **cyclodextrin** derivative is prepared by
a) reacting the N-ethoxycarbonyl-3-morpholino-sydnonimine or its salt and the cyclodextrin derivative in a solvent medium, and if desired recovering the complex from the solution by dehydration, or
b) high energy milling of the N-ethoxycarbonyl-3-morpholino-sydnonimine or its salt and the cyclodextrin derivative.

9. 5,399,700, Mar. 21, 1995, Method for preparing enteric-coated oral drugs containing acid-unstable compounds; Dong S. Min, et al., 546/273.7 [IMAGE AVAILABLE]

US PAT NO: 5,399,700 [IMAGE AVAILABLE] L6: 9 of 23

ABSTRACT:
The present invention relates to a method for preparing enteric-coated oral drugs containing acid-unstable compound, in particular an enteric-coated oral drug prepared in the form of acid-stable dosage units as inclusion complex formed by reacting benzimidazole derivative, acid-unstable compound, with cyclodextrin in alkaline solution.

10. 5,324,750, Jun. 28, 1994, Compositions and methods for drug delivery and chromatography; Stephen F. Lincoln, et al., 514/570, 58, 557; 536/103; 560/105; 562/406, 494 [IMAGE AVAILABLE]

US PAT NO: 5,324,750 [IMAGE AVAILABLE] L6: 10 of 23

ABSTRACT:
Cyclodextrin derivatives and inclusion complexes having increased solubility and stability are provided. Cyclodextrin derivatives include amino and other modified cyclodextrins, and linked cyclodextrins. Inclusion complexes comprising the foregoing cyclodextrins, and processes for making the cyclodextrin derivatives are disclosed. Also disclosed are cyclodextrin derivatives comprising otherwise substituted or unsubstituted cyclodextrins covalently bonded to agents such as pharmaceuticals. The covalent bond, when broken, yields the agent in

active form. Pharmaceutical compositions and methods of treating an animal host are also described, as well as chromatographic compositions and a method for separating racemic mixtures.

11. 5,312,815, May 17, 1994, Fungicidal (+)-2-(2,4-difluorophenyl)-3-methyl-1-(1H-1,2,4-triazol-1-yl)3-(6-(1H-1,2,4-triazol-1-yl)pyridazin-3-ylthio) butan-2-ol; Yuji Tanaka, et al., 514/58, 252; 536/46; 544/238 [IMAGE AVAILABLE]

US PAT NO: 5,312,815 [IMAGE AVAILABLE] L6: 11 of 23

ABSTRACT:
(+)-2-(2,4-Difluorophenyl)-3-methyl-1-(1H-1,2,4-triazol-1-yl)-3-(6-(1H-1,2,4-triazol-1-yl)pyridazin-3-ylthio)butan-2-ol and a pharmaceutically acceptable **salt** thereof useful as an antifungal agent are disclosed. An **inclusion complex** of the compound with **cyclodextrin** can be given either orally or intravenously and has increased absorption when administered orally.

12. 5,298,496, Mar. 29, 1994, Inclusion complexes of 3-morpholino-sydnonimine or its salts or its tautomer isomer, process for the preparation thereof, and pharmaceutical compositions containing the same; Maaria Vikmon, et al., 514/58; 424/464, 499; 514/821, 969; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,298,496 [IMAGE AVAILABLE] L6: 12 of 23

ABSTRACT:

The invention relates to inclusion complexes of 3-morpholino-sydnonimine or its salts or its tautomer isomer, process for the preparation thereof and pharmaceutical compositions containing the same.
The **inclusion complex** of 3-morpholino-sydnonimine or its **salt** formed with **cyclodextrin** derivative is prepared by
a) reacting the 3-morpholino-sydnonimine or its salt in an aqueous medium with a cyclodextrin derivative and the complex is isolated from the solution by dehydratation, or
b) high energy milling of 3-morpholino-sydnonimine or its salt and a cyclodextrin derivative.

13. 5,024,998, Jun. 18, 1991, Pharmaceutical formulations for parenteral use; Nicholas S. Bodor, 424/1.85, 94.1; 514/58, 777, 937; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,024,998 [IMAGE AVAILABLE] L6: 13 of 23

ABSTRACT:
Aqueous parenteral solutions of drugs which are insoluble or only sparingly soluble in water and/or which are unstable in water, combined with cyclodextrin selected from the group consisting of hydroxypropyl, hydroxyethyl, glucosyl, maltosyl and maltotriosyl derivatives of .beta.- and .gamma.-cyclodextrin, provide a means for alleviating problems associated with drug precipitation at the injection site and/or in the lungs or other organs following parenteral administration.

14. 5,017,566, May 21, 1991, Redox systems for brain-targeted drug delivery; Nicholas S. Bodor, 514/58, 964, 965; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,017,566 [IMAGE AVAILABLE] L6: 14 of 23

ABSTRACT:
Inclusion complexes of hydroxypropyl, hydroxyethyl, glucosyl, maltosyl and maltotriosyl derivatives of .beta.- and .gamma.-cyclodextrin with the reduced, biooxidizable, blood-brain barrier penetrating, lipoidal forms of dihydropyridine.revreaction.pyridinium salt redox systems for

brain-targeted drug delivery provide a means for stabilizing the redox systems, particularly against oxidation. The redox inclusion complexes also provide a means for decreasing initial drug concentrations in the lungs after administration of the systems, leading to decreased toxicity. In selected instances, complexation results in substantially improved water solubility of the redox systems as well.

15. 5,002,935, Mar. 26, 1991, Improvements in redox systems for brain-targeted drug delivery; Nicholas S. Bodor, 514/58; 424/488; 514/778, 965; 536/103 [IMAGE AVAILABLE]

US PAT NO: 5,002,935 [IMAGE AVAILABLE] L6: 15 of 23

ABSTRACT:
Inclusion complexes of hydroxypropyl-.beta.-cyclodextrin with the reduced biooxidizable, blood-brain barrier penetrating, lipoidal forms of dihydropyridine.revreaction.pyridinium salt redox systems for brain-targeted drug delivery provide a means for stabilizing the redox systems, particularly against oxidation. The reodox inclusion complexes also provide a means for decreasing initial drug concentrations in the lungs after administration of the systems, leading to decreased toxicity. In selected instances, complexation results in substantially improved water solubility of the redox systems as well.

16. 4,983,586, Jan. 8, 1991, Pharmaceutical formulations for parenteral use; Nicholas S. Bodor, 514/58, 777; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,983,586 [IMAGE AVAILABLE] L6: 16 of 23

ABSTRACT:
Aqueous parenteral solutions of drugs which are insoluble or only sparingly soluble in water and/or which are unstable in water, combined with hydroxypropyl-.beta.-cyclodextrin, provide a means for alleviating problems associated with drug precipitation at the injection site and/or in the lungs or other organs following parenteral administration.

17. 4,869,904, Sep. 26, 1989, Sustained release drug preparation; Kaneto Uekama, et al., 424/400, 456, 470, 489, 502; 514/58, 929; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,869,904 [IMAGE AVAILABLE] L6: 17 of 23

ABSTRACT:
Now is provided a new sustained release drug preparation comprising such an inclusion complex of a medical compound with a hydrophobic cyclodextrin derivative, e.g. ethylated cyclodextrins, which sustains or retards the dissolution and release of the medical compound at a controlled rate from the inclusion complex and hence from the drug preparation containing the inclusion complex, so as to maintain the concentration of the medical compound in blood at an effective level for prolonged time. This drug preparation may further contain a second type of an inclusion complex of the medical compound with a hydrophilic cyclodextrin or hydrophilic cyclodextrin derivative, in mixture with the first type of the inclusion complex of the medical compound with a hydrophobic cyclodextrin derivative, to maintain the controlled release of the medical compound from the drug.

18. 4,518,588, May 21, 1985, Process for the preparation of an inclusion complex of N-(1--phenylethyl)-3,3-diphenylpropylamine and the hydrochloride thereof respectively with cyclodextrin; Jozsef Szejtli, et al., 514/58; 536/46, 103 [IMAGE AVAILABLE]

US PAT NO: 4,518,588 [IMAGE AVAILABLE] L6: 18 of 23

ABSTRACT:

An inclusion complex is disclosed of N-(1-phenylethyl[illegible]3, 3-diphenyl-propylamine or its hydrochloride complexed with a cyclodextrin as well as a process for the preparation thereof and pharmaceutical compositions containing same. The new inclusion complexes have coronary dilatory activity and have greater water soluble than simple N-(1-phenylethyl)-3, 3-diphenyl-propyl amine or the hydrochloride thereof.

19. 4,228,160, Oct. 14, 1980, Inclusion complex of cyclodextrin and indomethacin and a process for the preparation thereof, method of use and pharmaceutical composition; Josef Szejtli, et al., 514/58; 536/103 [IMAGE AVAILABLE]

US PAT NO: 4,228,160 [IMAGE AVAILABLE] L6: 19 of 23

ABSTRACT:
The invention relates to an inclusion complex of 1-(p-chloro-benzoyl)-5-methoxy-2-methyl-indol-3-yl-acetic acid (indomethacin) and cyclodextrin of a molar ratio of about 2:1. The inclusion complex can be prepared by reacting about 2 moles of alpha or beta cyclodextrin with about 1 mole of 1-(p-chloro-benzoyl)-5-methoxy-2-methyl-indol-3-yl-acetic acid under heating in the presence of an organic solvent which dissolves indomethacin and does not form a stable complex with cyclodextrin. The new complex is at least as active antiinflammatory agent as indomethacin and at the same time shows substantially less ulcerative side-effect.

20. EP000658347A2, Jun. 21, 1995, Pharmaceutical composition, containing diclofenac and 2-hydroxypropyl-beta-cyclodextrin.; BODLEY, MARK DAVID (ZA), et al.,
INT-CL: [6] A61K47/48; [6] A61K31/195
EUR-CL: A61K31/195; A61K47/48

EP000658347A2 L6: 20 of 23

ABSTRACT:

A method of preparing an injectable pharmaceutical or veterinary composition which comprises either diclofenac or a **salt** thereof and 2-hydroxypropyl beta-**cyclodextrin**, or an **inclusion complex** of diclofenac or a **salt** thereof and 2-hydroxypropyl beta-**cyclodextrin**, includes the step of dissolving either the diclofenac or **salt** thereof and the 2-hydroxypropyl beta-**cyclodextrin**, or the **inclusion complex**, in water to form a solution, the water having been acidified to a pH such that the pH of the solution is from 6,0 to 8,5 inclusive, in the absence of a phosphate buffer. The composition so produced has good stability on storage.

21. US005403840A , Apr. 4, 1995, Inclusion complexes of N-ethoxycarbonyl 1-3-morpholino-sydnonimine or salts formed with cyclodextrin-derivatives, preparation thereof and pharmaceutical compositions containing the same; VIKMON, MARIA (HU), et al.,
INT-CL: [6] C07D271/04; [6] C06B37/16; [6] A61K31/41
EUR-CL: C07D271/04; C08B37/00

US005403840A L6: 21 of 23

ABSTRACT:

<CHG DATE=19950419 STATUS=O>PCT No. PCT/HU91/00012 Sec. 371 Date Jan. 2, 1992 Sec. 102(e) Date Jan. 2, 1992 PCT Filed Mar. 28, 1991 PCT Pub. No. WO91/14680 PCT Pub. Date Oct. 3, 1991.The invention relates to inclusion complexes of N-ethoxycarbonyl-3-morpholino-sydnonimine or its salts

formed with a **cyclodextrin** derivatives, preparation thereof and pharmaceutical compositions containing the same. The **inclusion complex** of N-ethoxycarbonyl-3-morpholino-sydnonimine or its **salt** formed with **cyclodextrin** derivative is prepared by a) reacting the N-ethoxycarbonyl-3-morpholino-sydnonimine or its **salt** and the **cyclodextrin** derivative in a solvent medium, and if desired recovering the complex from the solution by dehydration, or b) high energy milling of the N-ethoxycarbonyl-3-morpholino-sydnonimine or its **salt** and the **cyclodextrin** derivative.

22. US005298496A , Mar. 29, 1994, Inclusion complexes of 3-morpholino-sydnonimine or its salts or its tautomer isomer, process for the preparation thereof, and pharmaceutical compositions containing the same; VIKMON, MAARIA (HU), et al.,
INT-CL: [5] C07D271/04; [5] C07D295/30; [5] A61K31/41; [5] C08B37/16
EUR-CL: C07D271/04; C08B37/00

US005298496A L6: 22 of 23

ABSTRACT:

PCT No. PCT/HU91/00013 Sec. 371 Date Jan. 2, 1992 Sec. 102(e) Date Jan. 2, 1992 PCT Filed Mar. 28, 1991.The invention relates to inclusion complexes of 3-morpholino-sydnonimine or its salts or its tautomer isomer, process for the preparation thereof and pharmaceutical compositions containing the same. The **inclusion complex** of 3-morpholino-sydnonimine or its **salt** formed with **cyclodextrin** derivative is prepared by a) reacting the 3-morpholino-sydnonimine or its **salt** in an aqueous medium with a **cyclodextrin** derivative and the complex is isolated from the solution by dehydratation, or b) high energy milling of 3-morpholino-sydnonimine or its **salt** and a **cyclodextrin** derivative.

23. EP000552974A1, Jul. 28, 1993, A 1-aryl-2-(1H-1,2-4-triazol-1-yl) ethanol derivative and antifungal compositions containing that derivative.; TANAKA, YUJI (JP), et al.,
INT-CL: A01N43/653; C07D403/12; C07D521/00
EUR-CL: C07D521/00

EP000552974A1 L6: 23 of 23

ABSTRACT:

<CHG DATE=19940730 STATUS=O> (+)-2-(2,4-Difluorophenyl)-3-methyl-1-(1H-1,2,4-triazol-1-yl)-3-(6-(1H-1,2,4-triazol-1-yl)pyridazin-3-ylthio)butan-2-o l and a pharmaceutically acceptable **salt** thereof useful as an antifungal agent are disclosed. An **inclusion complex** of the compound with **cyclodextrin** can be given either orally or intravenously and has increased absorption when administered orally.